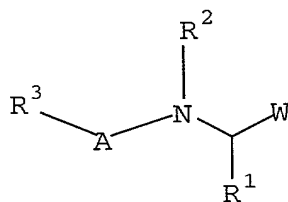


5 What we claim is:

1. A compound of Formula (I):



(I)

10 or a stereoisomer or a pharmaceutically acceptable salt form or prodrug thereof, wherein:

W is selected from the group:

- 15 -B(Y¹)(Y²),
-C(=O)C(=O)-Q,
-C(=O)C(=O)NH-Q,
-C(=O)C(=O)-O-Q,
-C(=O)CF₂C(=O)NH-Q;
-C(=O)CF₃,
20 -C(=O)CF₂CF₃, and
-C(=O)H;

Y¹ and Y² are independently selected from:

- 25 a) -OH,
b) -F,
c) -NR⁴R⁵,
d) C₁-C₈ alkoxy, and

when taken together with B, Y¹ and Y² form:

- 30 e) a cyclic boronic ester where said cyclic boronic ester contains from 2 to 20 carbon atoms, and, optionally, 1, 2, or 3 heteroatoms which can be N, S, or O;
f) a cyclic boronic amide where said cyclic boronic amide contains from 2 to 20 carbon atoms and, optionally, 1, 2, or 3 heteroatoms which can be N, S, or O; or
- 35

5 g) a cyclic boronic amide-ester where said cyclic boronic amide-ester contains from 2 to 20 carbon atoms and, optionally, 1, 2, or 3 heteroatoms which can be N, S, or O;

10 Q is selected from $-(CR^6R^{6c})_p-Q^1$, $-(CR^6R^{6c})_p-Q^2$, C_2-C_4 alkenyl substituted with Q^1 , C_2-C_4 alkynyl substituted with Q^1 , and an amino acid residue;

15 p is 1, 2, 3 or 4;

Q^1 is selected from the group:

$-CO_2R^7$, $-SO_2R^7$, $-SO_3R^7$, $-P(O)_2R^7$, $-P(O)_3R^7$,

aryl substituted with 0-4 Q^{1a} , and

20 5-6 membered heterocyclic ring system consisting of carbon atoms and 1-4 heteroatoms selected from the group: O, S, and N; optionally saturated, partially unsaturated or unsaturated; and said 5-6 membered heterocyclic ring system is substituted with 0-4 Q^{1a} ;

25 Q^{1a} is H, F, Cl, Br, I, $-NO_2$, $-CN$, $-NCS$, $-CF_3$, $-OCF_3$, $-CO_2R^8$, $-C(=O)NR^8R^9$, $-NHC(=O)R^8$, $-SO_2R^8$, $-SO_2NR^8R^9$, $-NR^8R^9$, $-OR^8$, $-SR^8$, C_1-C_4 alkyl, C_1-C_4 haloalkyl, or C_1-C_4 haloalkoxy;

Q^2 is $-X^1-NR^{10}-Z$, $-NR^{10}-X^2-Z$, or $-X^1-NR^{10}-X^2-Z$;

35 X^1 and X^2 are independently selected from: $-C(=O)-$, $-S-$, $-S(=O)-$, $-S(=O)_2-$, $-P(O)-$, $-P(O)_2-$, and $-P(O)_3-$;

Z is C_1-C_4 haloalkyl, C_1-C_4 alkyl substituted with 0-3 Z^a , C_2-C_4 alkenyl substituted with 0-3 Z^a ,

5 C₂-C₄ alkynyl substituted with 0-3 Z^a,
C₃-C₁₀ cycloalkyl substituted with 0-5 Z^b,
C₃-C₁₀ carbocycle substituted with 0-5 Z^b,
6-10 membered aryl substituted with 0-5 Z^b, or
5-10 membered heterocyclic ring system consisting of
10 carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; and said 5-10 membered
heterocyclic ring system is substituted with 0-4
Z^b;

15 Z^a is H, F, Cl, Br, I, -NO₂, -CN, -NCS, -CF₃, -OCF₃,
-CO₂R⁸, -C(=O)NR⁸R⁹, -NHC(=O)R⁸, -NR⁸R⁹, -OR⁸, -SR⁸,
-S(=O)R⁸, -SO₂R⁸, -SO₂NR⁸R⁹, C₁-C₄ alkyl,
C₁-C₄ haloalkyl, C₁-C₄ haloalkoxy,
20 C₃-C₇ cycloalkyl substituted with 0-5 Z^b,
C₃-C₁₀ carbocycle substituted with 0-5 Z^b,
6-10 membered aryl substituted with 0-5 Z^b, or
5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
25 group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; and said 5-10 membered
heterocyclic ring system is substituted with 0-4
Z^b;

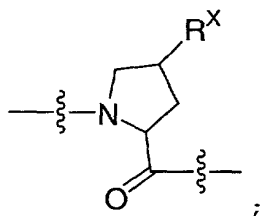
30 Z^b is H, F, Cl, Br, I, -NO₂, -CN, -NCS, -CF₃, -OCF₃,
-CO₂R⁸, -C(=O)NR⁸R⁹, -NHC(=O)R⁸, -NR⁸R⁹, -OR⁸, -SR⁸,
-S(=O)R⁸, -SO₂R⁸, -SO₂NR⁸R⁹, C₁-C₄ alkyl, C₁-C₄
haloalkyl, C₁-C₄ haloalkoxy,
C₃-C₇ cycloalkyl substituted with 0-5 Z^c,
35 C₃-C₁₀ carbocycle substituted with 0-5 Z^c,
6-10 membered aryl substituted with 0-5 Z^c, or
5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially

5 unsaturated or unsaturated; and said 5-10 membered
heterocyclic ring system is substituted with 0-4
Z^c;

10 Z^c is H, F, Cl, Br, I, -NO₂, -CN, -NCS, -CF₃, -OCF₃, -CO₂R⁸,
-C(=O)NR⁸R⁹, -NHC(=O)R⁸, -NR⁸R⁹, -OR⁸, -SR⁸, -S(=O)R⁸,
-SO₂R⁸, -SO₂NR⁸R⁹, C₁-C₄ alkyl, C₁-C₄ haloalkyl, or
C₁-C₄ haloalkoxy;

15 A is A²-A³, A²-A³-A⁴, A²-A³-A⁴-A⁵, A²-A³-A⁴-A⁵-A⁶, or
A²-A³-A⁴-A⁵-A⁶-A⁷;

A² is a natural amino acid, a modified amino acid, an
unnatural amino acid, or



wherein said amino acid is of either D or L configuration;

25 R^x is H, F, Cl, Br, I, -CF₃, -OCF₃, -(CH₂)_m-R¹⁶-(CH₂)_n-R¹²,
or -CO₂R¹²;

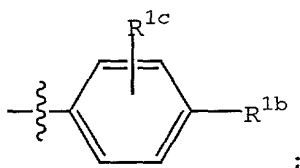
m and n are independently selected from 0, 1, 2, and 3;

30 A³, A⁴, A⁵, A⁶, and A⁷ are independently selected from an
amino acid residue; wherein said amino acid residue,
at each occurrence, is independently selected from a
natural amino acid, a modified amino acid, or an
unnatural amino acid; wherein said natural, modified
35 or unnatural amino acid is of either D or L
configuration;

5 R^1 is $-\text{CH}_2\text{CH}_2-\text{R}^{1a}$, $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{R}^{1a}$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{R}^{1a}$,
 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{R}^{1a}$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{R}^{1a}$,
 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_3$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_3$,
 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{C}(\text{CH}_3)_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{C}(\text{CH}_2\text{CH}_3)_2$, or
 $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{cyclobutyl}$;

10

R^{1a} is



R^{1b} is selected at each occurrence from the group:

15 H, $\text{C}_1\text{-C}_4$ alkyl, F, Cl, Br, I, $-\text{OH}$, $\text{C}_1\text{-C}_4$ alkoxy,
 phenoxy, benzyloxy, $-\text{SH}$, $-\text{CN}$, $-\text{NO}_2$, $-\text{C}(=\text{O})\text{OR}^{1d}$,
 $-\text{NR}^{1d}\text{R}^{1d}$, $-\text{CF}_3$, $-\text{OCF}_3$, $\text{C}_3\text{-C}_6$ cycloalkyl, and aryl
 substituted by 0-3 R^{1c} ;

20 R^{1c} is selected at each occurrence from the group:
 methyl, ethyl, Cl, F, Br, I, OH, methoxy, ethoxy, $-\text{CN}$,
 $-\text{NO}_2$, $-\text{C}(=\text{O})\text{OR}^{1d}$, $\text{NR}^{1d}\text{R}^{1d}$, $-\text{CF}_3$, and $-\text{OCF}_3$;

R^{1d} is H, $\text{C}_1\text{-C}_4$ alkyl, phenyl or benzyl;

25

R^2 is H, $\text{C}_1\text{-C}_4$ alkyl, aryl, $\text{aryl}(\text{C}_1\text{-C}_4 \text{ alkyl})-$, or
 $\text{C}_3\text{-C}_6$ cycloalkyl;

R^3 is H, $\text{C}_1\text{-C}_4$ alkyl, aryl, $\text{aryl}(\text{C}_1\text{-C}_4 \text{ alkyl})-$, $-\text{C}(=\text{O})\text{R}^{11}$,
 30 $-\text{CO}_2\text{R}^{11}$, $-\text{C}(=\text{O})\text{NHR}^{11}$, $-\text{S}(=\text{O})\text{R}^{11}$, $-\text{S}(=\text{O})_2\text{R}^{11}$, or
 an NH_2 -blocking group;

R^4 and R^5 , are independently selected from: H, $\text{C}_1\text{-C}_4$ alkyl,
 $\text{aryl}(\text{C}_1\text{-C}_4 \text{ alkyl})-$, and $\text{C}_3\text{-C}_7$ cycloalkyl;

35

5 R⁶ is selected from the group: H, -CO₂R⁷, -NR⁷R⁷, and C₁-C₆
alkyl substituted with 0-1 R^{6a};

R^{6a} is selected from the group: halo, -NO₂, -CN, -CF₃,
-CO₂R⁷, -NR⁷R⁷, -OR⁷, -SR⁷, -C(=NH)NH₂, and aryl
10 substituted with 0-1 R^{6b};

R^{6b} is selected from the group: -CO₂H, -NH₂, -OH, -SH, and
-C(=NH)NH₂;

15 R^{6c} is H or C₁-C₄ alkyl;

R⁷ at each occurrence is independently selected from the
group: H, C₁-C₄ alkyl, aryl, and aryl(C₁-C₄ alkyl)-,
wherein aryl is optionally substituted with 0-3
20 substituents selected from -CH₃, -NO₂, -CN, -OH,
-OCH₃, -SO₂CH₃, -CF₃, Cl, Br, I, and F;

alternatively, -NR⁷R⁷ may optionally form a 5-6 membered
heterocycle consisting of carbon atoms, a nitrogen
25 atom, and optionally a second heteroatom selected from
the group: O, S, and N;

R⁸ and R⁹ are independently selected from H, C₁-C₄ alkyl,
aryl, aryl(C₁-C₄ alkyl)-, and C₃-C₇ cycloalkyl;

30 alternatively, NR⁸R⁹ may form a 5-6 membered heterocycle
consisting of carbon atoms, a nitrogen atom, and
optionally a second heteroatom selected from the
group: O, S, and N;

35 R¹⁰ is selected from the group: H,
C₁-C₄ alkyl substituted with 0-3 R¹³,
C₃-C₁₀ carbocycle substituted with 0-3 R¹³,
6-10 membered aryl substituted with 0-3 R¹³, and

5 5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-3
10 R¹³;

R¹¹ is C₁-C₄ alkyl substituted with 0-1 R^{11a},
6-10 membered aryl substituted with 0-2 R^{11b}, or
5-10 membered heterocyclic ring system consisting of
15 carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-2
R^{11b};

20 R^{11a} is C₁-C₄ alkyl, halogen, -OR¹⁴, -SR¹⁴, -NR¹⁴R¹⁵, aryl,
or a 5-6 membered heterocyclic ring system containing
1, 2 or 3 heteroatoms selected from nitrogen, oxygen
and sulfur;

25 R^{11b} is -NO₂, -NH₂, -SO₃H, -SO₂CH₃, -CO₂H, -CF₃, -OH, -SH,
-OCF₃, Cl, Br, I, F, =O, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-
C₄ thioalkoxy, aryl, or aryl(C₁-C₄ alkyl)-, wherein
aryl is optionally substituted with 0-3 substituents
30 selected from -CH₃, -NO₂, -CN, -OH, -OCH₃, -SO₂CH₃,
-CF₃, Cl, Br, I, and F;

R¹² is selected from the group: H;
C₁-C₆ alkyl substituted with 0-3 R^{12a};
35 C₂-C₆ alkenyl substituted with 0-3 R^{12a};
C₂-C₆ alkynyl substituted with 0-3 R^{12a};
C₃-C₇ cycloalkyl substituted with 0-3 R^{12a};
C₄-C₁₀ (cycloalkyl-alkyl) substituted with 0-3 R^{12a};
6-10 membered aryl substituted with 0-3 R^{12a}; and

5 5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-2
10 R^{12a};

R^{12a} is independently selected from the group: C₁-C₆ alkoxy;
lower thioalkyl; sulfonyl; -NO₂; halogen; haloalkyl;
carboxyl; carboxy(lower alkyl); -OR¹⁴; -SR¹⁴; -NR¹⁴R¹⁵;
15 -C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵; -S(=O)₂R¹⁴;
C₁-C₆ alkyl substituted with 0-3 R^{12b};
C₂-C₆ alkenyl substituted with 0-3 R^{12b};
C₂-C₆ alkynyl substituted with 0-3 R^{12b};
C₃-C₇ cycloalkyl substituted with 0-3 R^{12b};
20 C₄-C₁₀ (alkylcycloalkyl) substituted with 0-3 R^{12b};
6-10 membered aryl substituted with 0-3 R^{12b}; and
5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
25 unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-2
R^{12b};

R^{12b} is independently selected from the group: C₁-C₆ alkyl;
30 C₃-C₇ cycloalkyl; C₁-C₆ alkoxy; halogen; -OR¹⁴; -SR¹⁴;
-NR¹⁴R¹⁵; -C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵; -S(=O)₂R¹⁴;
-NO₂; haloalkyl; carboxyl; carboxy(lower alkyl); aryl;
and 5-10 membered heterocyclic ring system consisting
of carbon atoms and 1-4 heteroatoms selected from
35 the group: O, S, and N; optionally saturated,
partially unsaturated or unsaturated; said 5-10
membered heterocyclic ring system is substituted
with C₁-C₆ alkyl;

5 R¹³ at each occurrence is independently selected from the group: H, -NO₂, -SO₂OH, -SO₂CH₃, -CF₃, Cl, Br, I, F, -NH₂, -NH(CH₃), -N(CH₃)₂, -NH(CH₂CH₃), -N(CH₂CH₃)₂, and C₁-C₄ alkyl;

10 R¹⁴ and R¹⁵ are independently selected from the group: H, C₁-C₄ alkyl, aryl, aryl(C₁-C₄ alkyl)-, and C₃-C₇ cycloalkyl;

R¹⁶ is a bond, -O-, -S- or -NR¹⁷-; and

15

R¹⁷ is H, C₁-C₄ alkyl, aryl, aryl(C₁-C₄ alkyl)-, or C₃-C₆ cycloalkyl.

2. A compound of Claim 1, or a stereoisomer or a
20 pharmaceutically acceptable salt form or prodrug thereof, wherein:

W is -B(Y¹)(Y²) or -C(=O)C(=O)NH-Q;

25 Y¹ and Y² are independently selected from:

- a) -OH,
- b) -F,
- c) -NR⁴R⁵,
- d) C₁-C₈ alkoxy, and

30 when taken together with B, Y¹ and Y² form:

- e) a cyclic boronic ester where said cyclic boronic ester contains from 2 to 20 carbon atoms, and, optionally, 1, 2, or 3 heteroatoms which can be N, S, or O;

35

Q is selected from -(CR⁶R^{6c})_p-Q¹,
C₂-C₄ alkenyl substituted with Q¹,
C₂-C₄ alkynyl substituted with Q¹, and
an amino acid residue;

40

5 p is 1, 2 or 3;

Q^1 is selected from the group:

$-\text{CO}_2\text{R}^7$, $-\text{SO}_2\text{R}^7$, $-\text{SO}_3\text{R}^7$,

aryl substituted with 0-4 Q^{1a} , and

10 5-6 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; and said 5-6 membered
heterocyclic ring system is substituted with 0-4
15 Q^{1a} ;

Q^{1a} is H, F, Cl, Br, I, $-\text{NO}_2$, $-\text{CN}$, $-\text{NCS}$, $-\text{CF}_3$, $-\text{OCF}_3$,

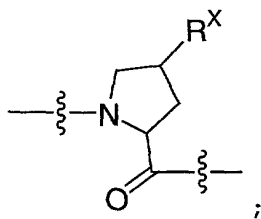
$-\text{CO}_2\text{R}^8$, $-\text{C}(=\text{O})\text{NR}^8\text{R}^9$, $-\text{NHC}(=\text{O})\text{R}^8$, $-\text{SO}_2\text{R}^8$, $-\text{SO}_2\text{NR}^8\text{R}^9$,

$-\text{NR}^8\text{R}^9$, $-\text{OR}^8$, $-\text{SR}^8$, C_1 - C_4 alkyl, C_1 - C_4 haloalkyl, or

20 C_1 - C_4 haloalkoxy;

A is A^2 - A^3 , A^2 - A^3 - A^4 , A^2 - A^3 - A^4 - A^5 , or A^2 - A^3 - A^4 - A^5 - A^6 ;

A^2 is a natural amino acid, a modified amino acid, an
25 unnatural amino acid, or



wherein said amino acid is of either D or L configuration;

30

R^X is H or $-(\text{CH}_2)_m-\text{R}^{16}-(\text{CH}_2)_n-\text{R}^{12}$;

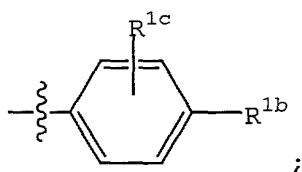
m and n are independently selected from 0, 1, or 2;

35 A^3 , A^4 , A^5 , and A^6 are independently selected from an amino
acid residue wherein said amino acid residue, at each

5 occurrence, is independently selected from a natural amino acid, a modified amino acid, or an unnatural amino acid wherein said natural, modified or unnatural amino acid is of either D or L configuration;

10 R^1 is $-\text{CH}_2\text{CH}_2-\text{R}^{1a}$, $-\text{CH}_2\text{CH}_2\text{CH}_2-\text{R}^{1a}$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{R}^{1a}$,
 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{R}^{1a}$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{R}^{1a}$,
 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_3$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_3$,
 $-\text{CH}_2\text{CH}_2\text{CH}_2\text{C}(\text{CH}_3)_2$, $-\text{CH}_2\text{CH}_2\text{CH}_2\text{C}(\text{CH}_2\text{CH}_3)_2$, or
 $-\text{CH}_2\text{CH}_2\text{CH}_2$ -cyclobutyl;

15 R^{1a} is



20 R^{1b} is selected at each occurrence from the group:
 H, C_1 - C_4 alkyl, F, Cl, Br, I, -OH, C_1 - C_4 alkoxy,
 phenoxy, benzyloxy, -SH, -CN, - NO_2 , - $\text{C}(=\text{O})\text{OR}^{1d}$,
 - $\text{NR}^{1d}\text{R}^{1d}$, - CF_3 , - OCF_3 , C_3 - C_6 cycloalkyl, and aryl
 substituted by 0-3 R^{1c} ;

25 R^{1c} is selected at each occurrence from the group:
 methyl, ethyl, Cl, F, Br, I, OH, methoxy, ethoxy, -CN,
 - NO_2 , - $\text{C}(=\text{O})\text{OR}^{1d}$, $\text{NR}^{1d}\text{R}^{1d}$, - CF_3 , and - OCF_3 ;

30 R^{1d} is H, C_1 - C_4 alkyl, phenyl or benzyl;

R^2 is H, C_1 - C_4 alkyl, aryl, aryl(C_1 - C_4 alkyl)-, or
 C_3 - C_6 cycloalkyl;

35 R^3 is H, C_1 - C_4 alkyl, aryl, aryl(C_1 - C_4 alkyl)-, - $\text{C}(=\text{O})\text{R}^{11}$,
 - CO_2R^{11} , - $\text{C}(=\text{O})\text{NHR}^{11}$, - $\text{S}(=\text{O})\text{R}^{11}$, - $\text{S}(=\text{O})_2\text{R}^{11}$, or
 an NH_2 -blocking group;

5

R⁴ and R⁵, are independently selected from: H, C₁-C₄ alkyl, aryl(C₁-C₄ alkyl)-, and C₃-C₇ cycloalkyl;

10

R⁶ is selected from the group: H, -CO₂R⁷, -NR⁷R⁷, and C₁-C₆ alkyl substituted with 0-1 R^{6a};

15

R^{6a} is selected from the group: halo, -NO₂, -CN, -CF₃, -CO₂R⁷, -NR⁷R⁷, -OR⁷, -SR⁷, -C(=NH)NH₂, and aryl substituted with 0-1 R^{6b};

R^{6b} is selected from the group: -CO₂H, -NH₂, -OH, -SH, and -C(=NH)NH₂;

20

R^{6c} is H or C₁-C₄ alkyl;

25

R⁷ at each occurrence is independently selected from the group: H, C₁-C₄ alkyl, aryl, and aryl(C₁-C₄ alkyl)-, wherein aryl is optionally substituted with 0-3 substituents selected from -CH₃, -NO₂, -CN, -OH, -OCH₃, -SO₂CH₃, -CF₃, Cl, Br, I, and F;

30

alternatively, -NR⁷R⁷ may optionally form a 5-6 membered heterocycle consisting of carbon atoms, a nitrogen atom, and optionally a second heteroatom selected from the group: O, S, and N;

R⁸ and R⁹ are independently selected from H, C₁-C₄ alkyl, aryl(C₁-C₄ alkyl)-, and C₃-C₇ cycloalkyl;

35

alternatively, NR⁸R⁹ may form a 5-6 membered heterocycle consisting of carbon atoms, a nitrogen atom, and optionally a second heteroatom selected from the group: O, S, and N;

5 R¹¹ is C₁-C₄ alkyl substituted with 0-1 R^{11a},
6-10 membered aryl substituted with 0-2 R^{11b}, or
5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
10 unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-2
R^{11b};

R^{11a} is C₁-C₄ alkyl, halogen, -OR¹⁴, -SR¹⁴, -NR¹⁴R¹⁵, aryl,
15 or a 5-6 membered heterocyclic ring system containing
1, 2 or 3 heteroatoms selected from nitrogen, oxygen
and sulfur;

R^{11b} is -NO₂, -NH₂, -SO₃H, -SO₂CH₃, -CO₂H, -CF₃, -OH, -SH,
20 -OCF₃, Cl, Br, I, F, =O, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-
C₄ thioalkoxy, aryl, or aryl(C₁-C₄ alkyl)-, wherein
aryl is optionally substituted with 0-3 substituents
selected from -CH₃, -NO₂, -CN, -OH, -OCH₃, -SO₂CH₃,
-CF₃, Cl, Br, I, and F;

25 R¹² is selected from the group: H;
C₁-C₆ alkyl substituted with 0-3 R^{12a};
C₂-C₆ alkenyl substituted with 0-3 R^{12a};
C₂-C₆ alkynyl substituted with 0-3 R^{12a};
30 C₃-C₇ cycloalkyl substituted with 0-3 R^{12a};
C₄-C₁₀ (cycloalkyl-alkyl) substituted with 0-3 R^{12a};
6-10 membered aryl substituted with 0-3 R^{12a}; and
5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
35 group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-2
R^{12a};

5 R^{12a} is independently selected from the group: C₁-C₆ alkoxy;
lower thioalkyl; sulfonyl; -NO₂; halogen; haloalkyl;
carboxyl; carboxy(lower alkyl); -OR¹⁴; -SR¹⁴; -NR¹⁴R¹⁵;
-C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵; -S(=O)₂R¹⁴;
C₁-C₆ alkyl substituted with 0-3 R^{12b};
10 C₂-C₆ alkenyl substituted with 0-3 R^{12b};
C₂-C₆ alkynyl substituted with 0-3 R^{12b};
C₃-C₇ cycloalkyl substituted with 0-3 R^{12b};
C₄-C₁₀ (alkylcycloalkyl) substituted with 0-3 R^{12b};
6-10 membered aryl substituted with 0-3 R^{12b}; and
15 5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-2
20 R^{12b};

R^{12b} is independently selected from the group: C₁-C₆ alkyl;
C₃-C₇ cycloalkyl; C₁-C₆ alkoxy; halogen; -OR¹⁴; -SR¹⁴;
-NR¹⁴R¹⁵; -C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵; -S(=O)₂R¹⁴;
25 -NO₂; haloalkyl; carboxyl; carboxy(lower alkyl); aryl;
and 5-10 membered heterocyclic ring system consisting
of carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-10 membered
30 heterocyclic ring system is substituted with C₁-C₆
alkyl;

R¹⁴ and R¹⁵ are independently selected from the group: H,
C₁-C₄ alkyl, aryl, aryl(C₁-C₄ alkyl)-, and C₃-C₇
35 cycloalkyl;

R¹⁶ is a bond, -O-, -S- or -NR¹⁷-; and

R¹⁷ is H, C₁-C₄ alkyl, aryl, aryl(C₁-C₄ alkyl)-, or

5 C₃-C₆ cycloalkyl.

3. A compound of Claim 2, or a stereoisomer or a
pharmaceutically acceptable salt form or prodrug thereof,
wherein:

10

W is -B(Y¹)(Y²);

Y¹ and Y² are independently selected from:

- 15 a) -OH,
b) -F,
c) C₁-C₈ alkoxy, and

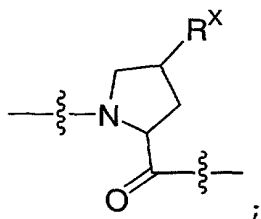
when taken together with B, Y¹ and Y² form:

- 20 d) a cyclic boronic ester where said cyclic boronic
ester contains from 2 to 16 carbon atoms, and,
optionally, 1, 2, or 3 heteroatoms which can be N,
S, or O;

A is A²-A³, A²-A³-A⁴, A²-A³-A⁴-A⁵, or A²-A³-A⁴-A⁵-A⁶;

- 25 A² is Ala, Arg, Asn, Asp, Aze, Cys, Gln, Glu, Gly, His,
Hyp, Ile, Leu, Lys, Met, Orn, Phe, Pro, Sar, Ser, Thr,
Trp, Tyr, Val, Abu, Alg, Ape, Cha, Cpa, Cpg, Dfb, Dpa,
Gla, Irg, HomoLys, Phe(4-fluoro), Tpa, Asp(OMe),
Glu(OMe), Hyp(OMe), Asp(O^tBu), Glu(O^tBu), Hyp(O^tBu),
30 Thr(O^tBu), Asp(OBzl), Glu(OBzl), Hyp(OBzl), Thr(OBzl),
cyclohexylglycine, cyclohexylalanine,
cyclopropylglycine, t-butylglycine, phenylglycine,
3,3-diphenylalanine, or

35



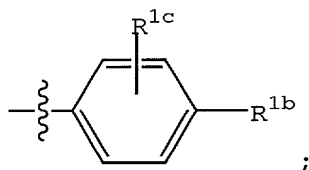
5 A³, A⁴, A⁵, and A⁶ are independently selected from an amino acid residue wherein said amino acid residue, at each occurrence, is independently selected from the group:
 Ala, Arg, Asn, Asp, Aze, Cys, Gln, Glu, Gly, His, Hyp,
 10 Ile, Leu, Lys, Met, Orn, Phe, Pro, Sar, Ser, Thr, Trp, Tyr, Val, Abu, Alg, Ape, Cha, Cpa, Cpg, Dfb, Dpa, Gla, Irg, HomoLys, Phe(4-fluoro), Tpa, Asp(OMe), Glu(OMe), Hyp(OMe), Asp(O^tBu), Glu(O^tBu), Hyp(O^tBu), Thr(O^tBu), Asp(OBzl), Glu(OBzl), Hyp(OBzl), Thr(OBzl), cyclohexylglycine, cyclohexylalanine,
 15 cyclopropylglycine, t-butylglycine, phenylglycine, and 3,3-diphenylalanine;

R^X is H or $-(CH_2)_m-R^{16}-(CH_2)_n-R^{12}$;

20 m and n are independently selected from 0, 1, or 2;

R¹ is $-CH_2CH_2-R^{1a}$, $-CH_2CH_2CH_2CH_2-R^{1a}$, or $-CH_2CH_2CH_2CH_2CH_2-R^{1a}$.

R^{1a} is



25

R^{1b} is selected at each occurrence from the group:

H, C₁-C₄ alkyl, F, Cl, Br, I, -OH, C₁-C₄ alkoxy, phenoxy, benzyloxy, -SH, -CN, -NO₂, -C(=O)OR^{1d},
 30 -NR^{1d}R^{1d}, -CF₃, -OCF₃, C₃-C₆ cycloalkyl, and aryl substituted by 0-3 R^{1c};

R^{1c} is selected at each occurrence from the group: methyl, ethyl, Cl, F, Br, I, OH, methoxy, ethoxy, -CN, -NO₂,
 35 -C(=O)OR^{1d}, NR^{1d}R^{1d}, -CF₃, and -OCF₃;

R^{1d} is H, C₁-C₄ alkyl, phenyl or benzyl;

5

R² is H, C₁-C₄ alkyl, phenyl or benzyl;

R³ is H, C₁-C₄ alkyl, aryl, aryl(C₁-C₄ alkyl)-, -C(=O)R¹¹,
-CO₂R¹¹, -C(=O)NHR¹¹, or an NH₂-blocking group;

10

R¹¹ is C₁-C₄ alkyl substituted with 0-1 R^{11a},
6-10 membered aryl substituted with 0-2 R^{11b}, or
5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-2
R^{11b};

15

20 R^{11a} is C₁-C₄ alkyl, halogen, -OR¹⁴, -SR¹⁴, -NR¹⁴R¹⁵, aryl,
or a 5-6 membered heterocyclic ring system containing
1, 2 or 3 heteroatoms selected from nitrogen, oxygen
and sulfur;

25 R^{11b} is -NO₂, -NH₂, -SO₃H, -SO₂CH₃, -CO₂H, -CF₃, -OH, -SH,
-OCF₃, Cl, Br, I, F, =O, C₁-C₄ alkyl, C₁-C₄ alkoxy, C₁-
C₄ thioalkoxy, aryl, or aryl(C₁-C₄ alkyl)-, wherein
aryl is optionally substituted with 0-3 substituents
selected from -CH₃, -NO₂, -CN, -OH, -OCH₃, -SO₂CH₃,
30 -CF₃, Cl, Br, I, and F;

R¹² is selected from the group: H;

C₁-C₆ alkyl substituted with 0-3 R^{12a};

C₂-C₆ alkenyl substituted with 0-3 R^{12a};

35 C₂-C₆ alkynyl substituted with 0-3 R^{12a};

C₃-C₇ cycloalkyl substituted with 0-3 R^{12a};

C₄-C₁₀ (cycloalkyl-alkyl) substituted with 0-3 R^{12a};

6-10 membered aryl substituted with 0-3 R^{12a}; and

5 R¹⁴ and R¹⁵ are independently selected from the group: H,
C₁-C₄ alkyl, aryl, aryl(C₁-C₄ alkyl)-, and C₃-C₇
cycloalkyl;

R¹⁶ is a bond, -O-, -S- or -NR¹⁷-; and

10 R¹⁷ is H, C₁-C₄ alkyl, aryl or aryl(C₁-C₄ alkyl).

4. A compound of Claim 3, or a stereoisomer or a
pharmaceutically acceptable salt form or prodrug thereof,
15 wherein:

W is -B(Y¹)(Y²);

Y¹ and Y² are independently selected from:

20 a) -OH,

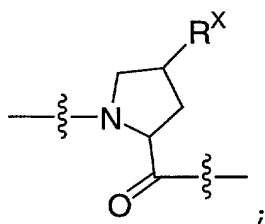
b) C₁-C₆ alkoxy, or

when taken together with B, Y¹ and Y² form:

d) a cyclic boronic ester where said cyclic boronic
ester contains from 2 to 16 carbon atoms;

25 A is A²-A³-A⁴, A²-A³-A⁴-A⁵, or A²-A³-A⁴-A⁵-A⁶;

A² is Ala, Arg, Asn, Asp, Aze, Cys, Gln, Glu, Gly, His,
Hyp, Ile, Leu, Lys, Met, Orn, Phe, Pro, Sar, Ser, Thr,
30 Trp, Tyr, Val, Abu, Alg, Ape, Cha, Cpa, Cpg, Dfb, Dpa,
Gla, Irg, HomoLys, Phe(4-fluoro), Tpa, Asp(OMe),
Glu(OMe), Hyp(OMe), Asp(O^tBu), Glu(O^tBu), Hyp(O^tBu),
Thr(O^tBu), Asp(OBzl), Glu(OBzl), Hyp(OBzl), Thr(OBzl),
cyclohexylglycine, cyclohexylalanine,
35 cyclopropylglycine, t-butylglycine, phenylglycine,
3,3-diphenylalanine, or



5

A³, A⁴, A⁵, and A⁶ are independently selected from an amino acid residue wherein said amino acid residue, at each occurrence, is independently selected from the group:

10 Ala, Arg, Asn, Asp, Aze, Cys, Gln, Glu, Gly, His, Hyp, Ile, Leu, Lys, Met, Orn, Phe, Pro, Sar, Ser, Thr, Trp, Tyr, Val, Abu, Alg, Ape, Cha, Cpa, Cpg, Dfb, Dpa, Gla, Irg, HomoLys, Phe(4-fluoro), Tpa, Asp(OMe), Glu(OMe), Hyp(OMe), Asp(O^tBu), Glu(O^tBu), Hyp(O^tBu), Thr(O^tBu),
 15 Asp(OBzl), Glu(OBzl), Hyp(OBzl), Thr(OBzl), cyclohexylglycine, cyclohexylalanine, cyclopropylglycine, t-butylglycine, phenylglycine, and 3,3-diphenylalanine;

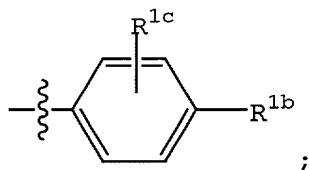
20 R^X is H or -(CH₂)_m-R¹⁶-(CH₂)_n-R¹²;

m and n are independently selected from 0, 1, or 2;

R¹ is -CH₂CH₂-R^{1a}, -CH₂CH₂CH₂CH₂-R^{1a}, or -CH₂CH₂CH₂CH₂CH₂-R^{1a}.

25

R^{1a} is



R^{1b} is selected at each occurrence from the group:

30 H, C₁-C₄ alkyl, F, Cl, Br, I, -OH, C₁-C₄ alkoxy, phenoxy, benzyloxy, -SH, -CN, -NO₂, -C(=O)OR^{1d}, -NR^{1d}R^{1d}, -CF₃, -OCF₃, C₃-C₆ cycloalkyl, and aryl substituted by 0-3 R^{1c};

5 group: O, S, and N; optionally saturated, partially unsaturated or unsaturated; said 5-10 membered heterocyclic ring system is substituted with 0-2 R^{12a};

10 R^{12a} is independently selected from the group: -NO₂; halogen; haloalkyl; carboxyl; carboxy(lower alkyl); -OR¹⁴; -SR¹⁴; -NR¹⁴R¹⁵; -C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵; C₁-C₄ alkyl substituted with 0-2 R^{12b}; phenyl substituted with 0-3 R^{12b}; and
 15 5-6 membered heterocyclic ring system consisting of carbon atoms and 1-4 heteroatoms selected from the group: O, S, and N; optionally saturated, partially unsaturated or unsaturated; said 5-6 membered heterocyclic ring system is substituted with 0-2
 20 R^{12b};

R^{12b} is independently selected from the group: C₁-C₄ alkyl; C₃-C₆ cycloalkyl; F; Cl; Br; I; -OR¹⁴; -SR¹⁴; -NR¹⁴R¹⁵; -C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵; -S(=O)₂R¹⁴;
 25 -NO₂; haloalkyl; carboxyl; carboxy(lower alkyl); and 5-6 membered heterocyclic ring system consisting of carbon atoms and 1-4 heteroatoms selected from the group: O, S, and N; optionally saturated, partially unsaturated or unsaturated; said 5-6 membered
 30 heterocyclic ring system is substituted with C₁-C₆ alkyl;

R¹⁴ and R¹⁵ are independently selected from the group: H, C₁-C₄ alkyl, phenyl and benzyl;

35 R¹⁶ is a bond, -O-, -S- or -NR¹⁷-; and

R¹⁷ is H, methyl, ethyl, propyl, butyl, phenyl or benzyl.

5

R^{1c} is selected at each occurrence from the group: methyl, ethyl, Cl, F, Br, I, OH, methoxy, ethoxy, -CN, -NO₂, -C(=O)OR^{1d}, NR^{1d}R^{1d}, -CF₃, and -OCF₃;

10 R^{1d} is H, C₁-C₄ alkyl, phenyl or benzyl;

R² is H, methyl, ethyl, propyl, or butyl;

15 R³ is H, C₁-C₄ alkyl, aryl, aryl(C₁-C₄ alkyl)-, -C(=O)R¹¹, -CO₂R¹¹, -C(=O)NHR¹¹ or acetyl;

20 R¹¹ is C₁-C₄ alkyl substituted with 0-1 R^{11a}, phenyl substituted with 0-2 R^{11b}, or 5-6 membered heterocyclic ring system consisting of carbon atoms and 1-4 heteroatoms selected from the group: O, S, and N; optionally saturated, partially unsaturated or unsaturated; said 5-6 membered heterocyclic ring system is substituted with 0-2 R^{11b};

25

R^{11a} is C₁-C₄ alkyl, halogen, -OR¹⁴, -SR¹⁴, -NR¹⁴R¹⁵, phenyl, or a 5-6 membered heterocyclic ring system containing 1, 2 or 3 heteroatoms selected from nitrogen, oxygen and sulfur;

30

R^{11b} is -NO₂, -NH₂, -SO₃H, -SO₂CH₃, -CO₂H, -CF₃, -OH, -SH, -OCF₃, Cl, Br, I, F, =O, methyl, ethyl, propyl, butyl, -OCH₃, -OCH₂CH₃, -SCH₃, -SCH₂CH₃, phenyl, or benzyl;

35 R¹² is selected from the group: H;

C₁-C₄ alkyl substituted with 0-2 R^{12a};

6-10 membered substituted with 0-3 R^{12a}; and

5-10 membered heterocyclic ring system consisting of carbon atoms and 1-4 heteroatoms selected from the

5 5. A compound of Claim 4, or a stereoisomer or a
pharmaceutically acceptable salt form or prodrug thereof,
wherein:

W is $-B(Y^1)(Y^2)$;

10 Y^1 and Y^2 are independently selected from:

a) $-OH$,

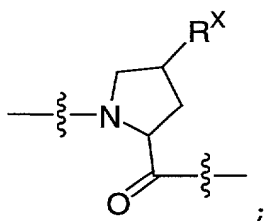
b) C_1-C_6 alkoxy, or

when taken together with B, Y^1 and Y^2 form:

15 d) a cyclic boronic ester where said cyclic boronic
ester contains from 2 to 14 carbon atoms;

A is $A^2-A^3-A^4$, $A^2-A^3-A^4-A^5$, or $A^2-A^3-A^4-A^5-A^6$;

20 A^2 is Ala, Arg, Asn, Asp, Aze, Cys, Gln, Glu, Gly, His,
Hyp, Ile, Leu, Lys, Met, Orn, Phe, Pro, Sar, Ser, Thr,
Trp, Tyr, Val, Abu, Alg, Ape, Cha, Cpa, Cpg, Dfb, Dpa,
Gla, Irg, HomoLys, Phe(4-fluoro), Tpa, Asp(OMe),
Glu(OMe), Hyp(OMe), Asp(O^tBu), Glu(O^tBu), Hyp(O^tBu),
25 Thr(O^tBu), Asp(OBzl), Glu(OBzl), Hyp(OBzl), Thr(OBzl),
cyclohexylglycine, cyclohexylalanine,
cyclopropylglycine, t-butylglycine, phenylglycine,
3,3-diphenylalanine, or



A^3 , A^4 , A^5 , and A^6 are independently selected from an amino
acid residue wherein said amino acid residue, at each
occurrence, is independently selected from the group:

35 Ala, Arg, Asn, Asp, Aze, Cys, Gln, Glu, Gly, His, Hyp,
Ile, Leu, Lys, Met, Orn, Phe, Pro, Sar, Ser, Thr, Trp,

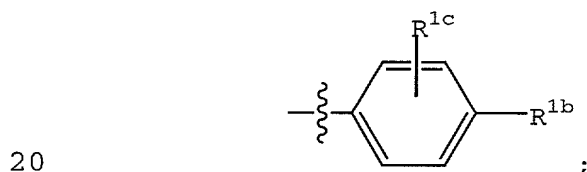
5 Tyr, Val, Abu, Alg, Ape, Cha, Cpa, Cpg, Dfb, Dpa, Gla,
 Irg, HomoLys, Phe(4-fluoro), Tpa, Asp(OMe), Glu(OMe),
 Hyp(OMe), Asp(O^tBu), Glu(O^tBu), Hyp(O^tBu), Thr(O^tBu),
 Asp(OBzl), Glu(OBzl), Hyp(OBzl), Thr(OBzl),
 cyclohexylglycine, cyclohexylalanine,
 10 cyclopropylglycine, t-butylglycine, phenylglycine, and
 3,3-diphenylalanine;

R^x is H or -(CH₂)_m-R¹⁶-(CH₂)_n-R¹²;

15 m and n are independently selected from 0 or 1;

R¹ is -CH₂CH₂-R^{1a} or -CH₂CH₂CH₂CH₂-R^{1a};

R^{1a} is



R^{1b} is selected at each occurrence from the group:

H, C₁-C₄ alkyl, F, Cl, Br, I, -OH, C₁-C₄ alkoxy,
 phenoxy, benzyloxy, -SH, -CN, -NO₂, -C(=O)OR^{1d},
 25 -NR^{1d}R^{1d}, -CF₃, -OCF₃, C₃-C₆ cycloalkyl, and aryl
 substituted by 0-3 R^{1c};

R^{1c} is selected at each occurrence from the methyl, ethyl,
 Cl, F, Br, I, OH, methoxy, ethoxy, -CN, -NO₂,
 30 -C(=O)OR^{1d}, NR^{1d}R^{1d}, -CF₃, and -OCF₃;

R^{1d} is H, methyl, ethyl, propyl, butyl, phenyl or benzyl;

R² is H or methyl;

35

R³ is H, methyl, ethyl, propyl, butyl, phenyl, benzyl,
 -C(=O)R¹¹, -CO₂R¹¹, -C(=O)NHR¹¹ or acetyl;

5

R¹¹ is C₁-C₄ alkyl substituted with 0-1 R^{11a},
phenyl substituted with 0-2 R^{11b}, or
5-6 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-6 membered
heterocyclic ring system is substituted with 0-2
R^{11b};

R^{11a} is methyl, ethyl propyl, butyl, F, Cl, Br, Cl, -OH,
-OCH₃, -SH, -SCH₃, -NH₂, -NHCH₃, -N(CH₃)₂, phenyl, or a
5-6 membered heterocyclic ring system containing 1, 2
or 3 heteroatoms selected from nitrogen, oxygen and
sulfur;

R^{11b} is -NO₂, -NH₂, -SO₃H, -SO₂CH₃, -CO₂H, -CF₃, -OH, -SH,
-OCF₃, Cl, Br, I, F, =O, methyl, ethyl, propyl, butyl,
-OCH₃, -OCH₂CH₃, -SCH₃, -SCH₂CH₃, phenyl, or benzyl;

R¹² is selected from the group: H;
C₁-C₄ alkyl substituted with 0-2 R^{12a};
6-10 membered aryl substituted with 0-3 R^{12a}; and
5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-2
R^{12a};

R^{12a} is independently selected from the group: -NO₂;
halogen; haloalkyl; carboxyl; carboxy(lower alkyl);
-OR¹⁴; -SR¹⁴; -NR¹⁴R¹⁵; -C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵;
C₁-C₄ alkyl substituted with 0-3 R^{12b};
phenyl substituted with 0-3 R^{12b}; and

5 5-6 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated;

10 R^{12b} is independently selected from the group: C₁-C₄ alkyl;
C₃-C₆ cycloalkyl; F; Cl; Br; I; -OR¹⁴; -SR¹⁴;
-NR¹⁴R¹⁵; -C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵; -S(=O)₂R¹⁴;
-NO₂; haloalkyl; carboxyl; carboxy(lower alkyl); and
5-6 membered heterocyclic ring system consisting of
15 carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated;

 R¹⁴ and R¹⁵ are independently selected from the group: H,
20 methyl, ethyl, propyl, butyl, phenyl, and benzyl;

 R¹⁶ is a bond, -O-, -S- or -NR¹⁷-; and

 R¹⁷ is H, methyl, ethyl, propyl, butyl, phenyl, or benzyl.
25

6. A compound of Claim 5, or a stereoisomer or a
pharmaceutically acceptable salt form or prodrug thereof,
wherein:

30 W is -B(Y¹)(Y²);

 Y¹ and Y² are independently selected from:

 a) -OH,

 b) C₁-C₆ alkoxy, or

35 when taken together with B, Y¹ and Y² form:

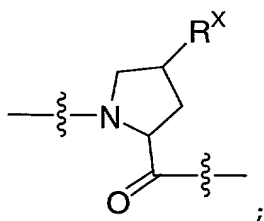
 c) a cyclic boronic ester where said cyclic boronic
ester is formed from the group: pinanediol,
pinacol, 1,2-ethanediol, 1,3-propanediol, 1,2-
propanediol, 2,3-butanediol, 1,2-

40 diisopropylethanediol, 5,6-decanediol, 1,2-

5 dicyclohexylethanediol, diethanolamine, and 1,2-diphenyl-1,2-ethanediol;

A is A²-A³-A⁴, A²-A³-A⁴-A⁵, or A²-A³-A⁴-A⁵-A⁶;

10 A² is Ala, Arg, Asn, Asp, Aze, Cys, Gln, Glu, Gly, His, Hyp, Ile, Leu, Lys, Met, Orn, Phe, Pro, Sar, Ser, Thr, Trp, Tyr, Val, Abu, Alg, Ape, Cha, Cpa, Cpg, Dfb, Dpa, Gla, Irg, HomoLys, Phe(4-fluoro), Tpa, Asp(OMe), Glu(OMe), Hyp(OMe), Asp(O^tBu), Glu(O^tBu), Hyp(O^tBu),
15 Thr(O^tBu), Asp(OBzl), Glu(OBzl), Hyp(OBzl), Thr(OBzl), cyclohexylglycine, cyclohexylalanine, cyclopropylglycine, t-butylglycine, phenylglycine, 3,3-diphenylalanine, or



A³, A⁴, A⁵, and A⁶ are independently selected from an amino acid residue wherein said amino acid residue, at each occurrence, is independently selected from the group:
25 Ala, Arg, Asn, Asp, Aze, Cys, Gln, Glu, Gly, His, Hyp, Ile, Leu, Lys, Met, Orn, Phe, Pro, Sar, Ser, Thr, Trp, Tyr, Val, Abu, Alg, Ape, Cha, Cpa, Cpg, Dfb, Dpa, Gla, Irg, HomoLys, Phe(4-fluoro), Tpa, Asp(OMe), Glu(OMe), Hyp(OMe), Asp(O^tBu), Glu(O^tBu), Hyp(O^tBu), Thr(O^tBu),
30 Asp(OBzl), Glu(OBzl), Hyp(OBzl), Thr(OBzl), cyclohexylglycine, cyclohexylalanine, cyclopropylglycine, t-butylglycine, phenylglycine, and 3,3-diphenylalanine;

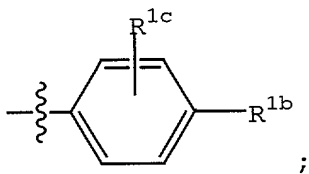
35 R^X is H, or -(CH₂)_m-R¹⁶-(CH₂)_n-R¹²;

m and n are independently selected from 0 or 1;

5

R^1 is $-\text{CH}_2\text{CH}_2-\text{R}^{1a}$ or $-\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2-\text{R}^{1a}$;

R^{1a} is



10

R^{1b} is selected at each occurrence from the group:

H, C_1 - C_4 alkyl, F, Cl, Br, I, -OH, C_1 - C_4 alkoxy, phenoxy, benzyloxy, -SH, -CN, $-\text{NO}_2$, $-\text{C}(=\text{O})\text{OR}^{1d}$, $-\text{NR}^{1d}\text{R}^{1d}$, $-\text{CF}_3$, $-\text{OCF}_3$, C_3 - C_6 cycloalkyl, and aryl substituted by 0-3 R^{1c} ;

15

R^{1c} is selected at each occurrence from the methyl, ethyl, Cl, F, Br, I, OH, methoxy, ethoxy, -CN, $-\text{NO}_2$, $-\text{C}(=\text{O})\text{OR}^{1d}$, $\text{NR}^{1d}\text{R}^{1d}$, $-\text{CF}_3$, and $-\text{OCF}_3$;

20

R^{1d} is H, methyl, ethyl, propyl, butyl, phenyl or benzyl;

R^2 is H or methyl;

25

R^3 is H, methyl, ethyl propyl, butyl, phenyl, benzyl, $-\text{C}(=\text{O})\text{R}^{11}$, $-\text{CO}_2\text{R}^{11}$, $-\text{C}(=\text{O})\text{NHR}^{11}$ or acetyl;

R^{11} is C_1 - C_4 alkyl substituted with 0-1 R^{11a} ,

phenyl substituted with 0-2 R^{11b} , or

30

5-6 membered heterocyclic ring system consisting of carbon atoms and 1-4 heteroatoms selected from the group: O, S, and N; optionally saturated, partially unsaturated or unsaturated; said 5-6 membered heterocyclic ring system is substituted with 0-2 R^{11b} ;

35

5 R^{11a} is methyl, ethyl propyl, butyl, F, Cl, Br, Cl, -OH,
-OCH₃, -SH, -SCH₃, -NH₂, -NHCH₃, -N(CH₃)₂, phenyl, or a
5-6 membered heterocyclic ring system containing 1, 2
or 3 heteroatoms selected from nitrogen, oxygen and
sulfur;

10

R^{11b} is -NO₂, -NH₂, -SO₃H, -SO₂CH₃, -CO₂H, -CF₃, -OH, -SH,
-OCF₃, Cl, Br, I, F, =O, methyl, ethyl, propyl, butyl,
-OCH₃, -OCH₂CH₃, -SCH₃, -SCH₂CH₃, phenyl, or benzyl;

15 R¹² is selected from the group: H;

C₁-C₄ alkyl substituted with 0-2 R^{12a};

6-10 member aryl substituted with 0-3 R^{12a}; and

5-10 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-2
R^{12a};

25 R^{12a} is independently selected from the group: -NO₂;

halogen; haloalkyl; carboxyl; carboxy(lower alkyl);

-OR¹⁴; -SR¹⁴; -NR¹⁴R¹⁵; -C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵;

C₁-C₄ alkyl; phenyl; and

5-6 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated;

35 R¹⁴ and R¹⁵ are independently selected from the group: H,
methyl, and ethyl; and

R¹⁶ is a bond, -O- or -S-.

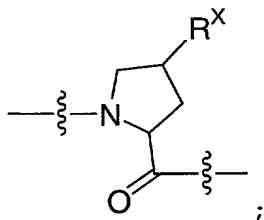
5 7. A compound of Claim 6, or a stereoisomer or a
pharmaceutically acceptable salt form or prodrug thereof,
wherein:

W is pinanediol boronic ester;

10

A is A²-A³-A⁴, A²-A³-A⁴-A⁵, or A²-A³-A⁴-A⁵-A⁶;

A² is Ala, Arg, Asn, Asp, Cys, Gln, Glu, Gly, His, Hyp,
Ile, Leu, Lys, Met, Phe, Pro, Ser, Thr, Trp, Tyr, Val,
15 Abu, Asp(OMe), Glu(OMe), Hyp(OMe), Asp(O^tBu),
Glu(O^tBu), Hyp(O^tBu), Thr(O^tBu), Asp(OBzl), Glu(OBzl),
Hyp(OBzl), Thr(OBzl), cyclohexylalanine, or



20

A³, A⁴, A⁵, and A⁶ are independently selected from an amino
acid residue wherein said amino acid residue, at each
occurrence, is independently selected from the group:
Ala, Arg, Asn, Asp, Cys, Gln, Glu, Gly, His, Hyp, Ile,
25 Leu, Lys, Met, Phe, Pro, Ser, Thr, Trp, Tyr, Val,
Asp(OMe), Glu(OMe), Hyp(OMe), Asp(O^tBu), Glu(O^tBu),
Hyp(O^tBu), Thr(O^tBu), Asp(OBzl), Glu(OBzl),
Hyp(OBzl), Thr(OBzl), cyclohexylglycine,
cyclohexylalanine, cyclohexylglycine,
30 cyclopropylglycine, t-butylglycine, phenylglycine, and
3,3-diphenylalanine;

R¹ is -CH₂CH₂-R^{1a} or -CH₂CH₂CH₂CH₂-R^{1a};

5 R^{1a} is selected from the group: phenyl, 2-naphthyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-(1,1'-biphenyl)-, 2,5-dimethylphenyl, 2,4-dimethylphenyl, 3-CF₃-phenyl, 4-CF₃-phenyl, 2-F-phenyl, 3-F-phenyl, 4-F-phenyl, 4-Cl-phenyl, 4-Br-phenyl, 4-phenoxyphenyl, 4-isopropylphenyl, 4-cyclohexylphenyl, 4-tBu-phenyl, 4-methoxyphenyl, 2,6-diF-phenyl, 4-hydroxy-phenyl, (4-methoxyphenoxy)phenyl, methyl, ethyl, propyl, i-propyl, n-butyl, i-butyl, and cyclobutyl;

15 R^X is H or -(CH₂)_m-R¹⁶-(CH₂)_n-R¹²;

m and n are independently selected from 0 or 1;

R² is H or methyl;

20 R³ is H, methyl, ethyl propyl, butyl, phenyl, benzyl, -C(=O)R¹¹, -CO₂R¹¹, -C(=O)NHR¹¹ or acetyl;

R¹¹ is C₁-C₄ alkyl substituted with 0-1 R^{11a},

25 phenyl substituted with 0-2 R^{11b}, or

5-6 membered heterocyclic ring system consisting of carbon atoms and 1-4 heteroatoms selected from the group: O, S, and N; optionally saturated, partially unsaturated or unsaturated; said 5-6 membered heterocyclic ring system is substituted with 0-2 R^{11b};

30 R^{11a} is methyl, ethyl propyl, butyl, F, Cl, Br, Cl, -OH, -OCH₃, -SH, -SCH₃, -NH₂, -NHCH₃, -N(CH₃)₂, phenyl, or a 5-6 membered heterocyclic ring system containing 1, 2 or 3 heteroatoms selected from nitrogen, oxygen and sulfur;

R^{11b} is -NO₂, -NH₂, -SO₃H, -SO₂CH₃, -CO₂H, -CF₃, -OH, -SH,

5 -OCF₃, Cl, Br, I, F, =O, methyl, ethyl, propyl, butyl,
 -OCH₃, -OCH₂CH₃, -SCH₃, -SCH₂CH₃, phenyl, or benzyl;

R¹² is selected from the group: H;

C₁-C₄ alkyl substituted with 0-2 R^{12a};

10 6-10 member aryl substituted with 0-3 R^{12a}; and
 5-10 membered heterocyclic ring system consisting of
 carbon atoms and 1-4 heteroatoms selected from the
 group: O, S, and N; optionally saturated, partially
 unsaturated or unsaturated; said 5-10 membered
15 heterocyclic ring system is substituted with 0-2
 R^{12a};

R^{12a} is independently selected from the group: -NO₂;

halogen; haloalkyl; carboxyl; carboxy(lower alkyl);

20 -OR¹⁴; -SR¹⁴; -NR¹⁴R¹⁵; -C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵;

C₁-C₄ alkyl; phenyl; and

5-6 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
25 unsaturated or unsaturated;

R¹⁴ and R¹⁵ are independently selected from the group: H,
methyl, and ethyl; and

30 R¹⁶ is a bond, -O- or -S-.

8. A compound of Claim 7, or a stereoisomer or a
pharmaceutically acceptable salt form or prodrug thereof,
wherein:

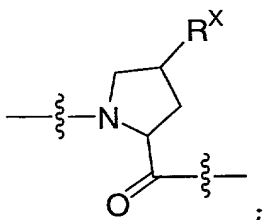
35

W is pinanediol boronic ester;

A is A²-A³-A⁴, A²-A³-A⁴-A⁵, or A²-A³-A⁴-A⁵-A⁶;

5 A² is Ala, Arg, Asn, Asp, Cys, Gln, Glu, Gly, His, Hyp,
 Ile, Leu, Lys, Met, Phe, Pro, Ser, Thr, Trp, Tyr, Val,
 Abu, Asp(OMe), Glu(OMe), Hyp(OMe), Asp(O^tBu),
 Glu(O^tBu), Hyp(O^tBu), Thr(O^tBu), Asp(OBzl), Glu(OBzl),
 Hyp(OBzl), Thr(OBzl), cyclohexylalanine, or

10



A³, A⁴, A⁵, and A⁶ are independently selected from an amino
 acid residue wherein said amino acid residue, at each
 15 occurrence, is independently selected from the group:
 Ala, Arg, Asn, Asp, Cys, Gln, Glu, Gly, His, Hyp, Ile,
 Leu, Lys, Met, Phe, Pro, Ser, Thr, Trp, Tyr, Val,
 Asp(OMe), Glu(OMe), Hyp(OMe), Asp(O^tBu), Glu,
 Glu(O^tBu), Hyp(O^tBu), Thr(O^tBu), Asp(OBzl), Glu(OBzl),
 20 Hyp(OBzl), Thr(OBzl), cyclohexylglycine,
 cyclohexylalanine, cyclohexylglycine,
 cyclopropylglycine, t-butylglycine, phenylglycine, and
 3,3-diphenylalanine;

25 R¹ is -CH₂CH₂-R^{1a} or -CH₂CH₂CH₂CH₂-R^{1a};

R^{1a} is selected from the group: phenyl, 2-naphthyl, 2-
 methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-(1,1'-
 biphenyl)-, 2,5-dimethylphenyl, 2,4-dimethylphenyl,
 30 3-CF₃-phenyl, 4-CF₃-phenyl, 2-F-phenyl, 3-F-phenyl,
 4-F-phenyl, 4-Cl-phenyl, 4-Br-phenyl, 4-phenoxyphenyl,
 4-isopropylphenyl, 4-cyclohexylphenyl, 4-tBu-phenyl,
 4-methoxyphenyl, 2,6-diF-phenyl, 4-hydroxy-phenyl,
 (4-methoxyphenoxy)phenyl, methyl, ethyl, propyl,
 35 i-propyl, n-butyl, i-butyl, and cyclobutyl;

5 R^X is H or benzoxy;

R^2 is H;

R^3 is H, $-C(=O)R^{11}$ or acetyl;

10

R^{11} is 5-6 membered heterocyclic ring system consisting of carbon atoms and 1-4 heteroatoms selected from the group: O, S, and N; optionally saturated, partially unsaturated or unsaturated; said 5-6 membered heterocyclic ring system is substituted with 0-2 R^{11b} ; and

15

R^{11b} is $-\text{NO}_2$, $-\text{NH}_2$, $-\text{SO}_3\text{H}$, $-\text{SO}_2\text{CH}_3$, $-\text{CO}_2\text{H}$, $-\text{CF}_3$, $-\text{OH}$, $-\text{SH}$, $-\text{OCF}_3$, Cl, Br, F, methyl, ethyl, propyl, butyl, $-\text{OCH}_3$, or $-\text{OCH}_2\text{CH}_3$.

20

9. A compound of Claim 7, or a stereoisomer or a pharmaceutically acceptable salt form or prodrug thereof, wherein:

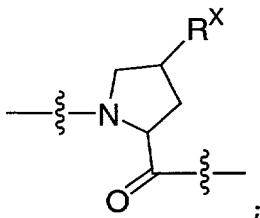
25

W is pinanediol boronic ester;

A is $\text{A}^2\text{-A}^3\text{-A}^4$, $\text{A}^2\text{-A}^3\text{-A}^4\text{-A}^5$, or $\text{A}^2\text{-A}^3\text{-A}^4\text{-A}^5\text{-A}^6$;

30

A^2 is Pro, Leu, Asp, Abu, Val, cyclohexylalanine, or



35

A^3 is Val, Glu, Ile, Thr, cyclohexylglycine, or cyclohexylalanine;

5 A⁴ is Val, Ile, Leu, cyclohexylglycine, cyclopropylglycine,
t-butylglycine, phenylglycine, or 3,3-diphenylalanine;

A⁵ is Asp, Glu, Val, Ile, t-butylglycine or Gla;

10 A⁶ is Asp or Glu;

R¹ is -CH₂CH₂-R^{1a} or -CH₂CH₂CH₂CH₂-R^{1a};

R^{1a} is selected from the group: phenyl, 2-naphthyl, 2-
15 methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-(1,1'-
biphenyl)-, 2,5-dimethylphenyl, 2,4-dimethylphenyl,
3-CF₃-phenyl, 4-CF₃-phenyl, 2-F-phenyl, 3-F-phenyl,
4-F-phenyl, 4-Cl-phenyl, 4-Br-phenyl, 4-phenoxyphenyl,
4-isopropylphenyl, 4-cyclohexylphenyl, 4-tBu-phenyl,
20 4-methoxyphenyl, 2,6-diF-phenyl, 4-hydroxy-phenyl,
(4-methoxyphenoxy)phenyl, methyl, ethyl, propyl,
i-propyl, n-butyl, i-butyl, and cyclobutyl;

R^x is H or -(CH₂)_m-R¹⁶-(CH₂)_n-R¹²;

25 m and n are independently selected from 0 or 1;

R² is H or methyl;

30 R³ is H, methyl, ethyl propyl, butyl, phenyl, benzyl,
-C(=O)R¹¹, -CO₂R¹¹, -C(=O)NHR¹¹ or acetyl;

R¹¹ is C₁-C₄ alkyl substituted with 0-1 R^{11a},
phenyl substituted with 0-2 R^{11b}, or

35 5-6 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-6 membered
heterocyclic ring system is substituted with 0-2
40 R^{11b};

5

R^{11a} is methyl, ethyl propyl, butyl, F, Cl, Br, Cl, -OH,
-OCH₃, -SH, -SCH₃, -NH₂, -NHCH₃, -N(CH₃)₂, phenyl, or a
5-6 membered heterocyclic ring system containing 1, 2
or 3 heteroatoms selected from nitrogen, oxygen and
10 sulfur;

R^{11b} is -NO₂, -NH₂, -SO₃H, -SO₂CH₃, -CO₂H, -CF₃, -OH, -SH,
-OCF₃, Cl, Br, I, F, =O, methyl, ethyl, propyl, butyl,
-OCH₃, -OCH₂CH₃, -SCH₃, -SCH₂CH₃, phenyl, or benzyl;

15

R¹² is selected from the group: H;
C₁-C₄ alkyl substituted with 0-2 R^{12a};
6-10 member aryl substituted with 0-3 R^{12a}; and
5-10 membered heterocyclic ring system consisting of
20 carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated; said 5-10 membered
heterocyclic ring system is substituted with 0-2
R^{12a};

25

R^{12a} is independently selected from the group: -NO₂;
halogen; haloalkyl; carboxyl; carboxy(lower alkyl);
-OR¹⁴; -SR¹⁴; -NR¹⁴R¹⁵; -C(=O)NR¹⁴R¹⁵; -NR¹⁴C(=O)R¹⁵;
C₁-C₄ alkyl; phenyl; and
30 5-6 membered heterocyclic ring system consisting of
carbon atoms and 1-4 heteroatoms selected from the
group: O, S, and N; optionally saturated, partially
unsaturated or unsaturated;

35 R¹⁴ and R¹⁵ are independently selected from H, methyl, or
ethyl; and

R¹⁶ is a bond, -O- or -S-.

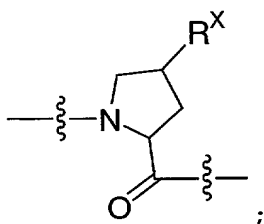
5 10. A compound of Claim 9, or a stereoisomer or a
pharmaceutically acceptable salt form or prodrug thereof,
wherein:

W is pinanediol boronic ester;

10

A is A²-A³-A⁴, A²-A³-A⁴-A⁵, or A²-A³-A⁴-A⁵-A⁶;

A² is Pro, Leu, Asp, Abu, Val, cyclohexylalanine, or



A³ is Val, Glu, Ile, Thr, cyclohexylglycine, or
cyclohexylalanine;

20 A⁴ is Val, Ile, Leu, cyclohexylglycine, cyclopropylglycine,
t-butylglycine, phenylglycine, or 3,3-diphenylalanine;

A⁵ is Asp, Glu, Val, Ile, t-butylglycine or Gla;

25 A⁶ is Asp or Glu;

R¹ is -CH₂CH₂-R^{1a} or -CH₂CH₂CH₂CH₂-R^{1a};

R^{1a} is selected from the group: phenyl, 2-naphthyl, 2-
30 methylphenyl, 3-methylphenyl, 4-methylphenyl, 4-(1,1'-
biphenyl)-, 2,5-dimethylphenyl, 2,4-dimethylphenyl,
3-CF₃-phenyl, 4-CF₃-phenyl, 2-F-phenyl, 3-F-phenyl,
4-F-phenyl, 4-Cl-phenyl, 4-Br-phenyl, 4-phenoxyphenyl,
4-isopropylphenyl, 4-cyclohexylphenyl, 4-tBu-phenyl,
35 4-methoxyphenyl, 2,6-diF-phenyl, 4-hydroxy-phenyl,
(4-methoxyphenoxy)phenyl, methyl, ethyl, propyl,

5 i-propyl, n-butyl, i-butyl, and cyclobutyl;

R^x is H or benzoxy;

R² is H;

10

R³ is H, -C(=O)R¹¹ or acetyl;

15 R¹¹ is 5-6 membered heterocyclic ring system consisting of carbon atoms and 1-4 heteroatoms selected from the group: O, S, and N; optionally saturated, partially unsaturated or unsaturated; said 5-6 membered heterocyclic ring system is substituted with 0-2 R^{11b}; and

20 R^{11b} is -NO₂, -NH₂, -SO₃H, -SO₂CH₃, -CO₂H, -CF₃, -OH, -SH, -OCF₃, Cl, Br, F, methyl, ethyl, propyl, butyl, -OCH₃, or -OCH₂CH₃.

11. A compound of Claim 1, or a stereoisomer or a
25 pharmaceutically acceptable salt form or prodrug thereof, selected from:

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-phenylpropylboronic acid (+)-pinanediol ester;

30

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-4-phenylbutylboronic acid (+)-pinanediol ester;

35 H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-5-phenylpentylboronic acid (+)-pinanediol ester;

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(2-naphthyl)propylboronic acid (+)-pinanediol ester;

40 H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(2-methyl)phenylpropylboronic acid (+)-pinanediol ester;

5

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(3-methyl)phenylpropylboronic acid (+)-pinanediol ester;

10

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(4-methyl)phenylpropylboronic acid (+)-pinanediol ester;

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(1,1'-biphenyl)-4-ylpropylboronic acid (+)-pinanediol ester;

15

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(2,5-dimethyl)phenylpropylboronic acid (+)-pinanediol ester;

20

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(2,4-dimethyl)phenylpropylboronic acid (+)-pinanediol ester;

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(4-trifluoromethyl)phenylpropylboronic acid (+)-pinanediol ester;

25

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(3-trifluoromethyl)phenylpropylboronic acid (+)-pinanediol ester;

30

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(4-fluoro)phenylpropylboronic acid (+)-pinanediol ester;

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(4-phenoxy)phenylpropylboronic acid (+)-pinanediol ester;

35

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(4-isopropyl)phenylpropylboronic acid (+)-pinanediol ester;

40

H-Asp-Glu-Val-Val-Pro-(1R)-1-amino-3-(4-cyclohexyl)phenylpropylboronic acid (+)-pinanediol ester;

- 5 H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-3-(4-*tert*-
butyl)phenylpropylboronic acid (+)-pinanediol ester;
- H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-3-(4-
methoxy)phenylpropylboronic acid (+)-pinanediol ester;
- 10 H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-3-(4-
chloro)phenylpropylboronic acid (+)-pinanediol ester;
- H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-3-(4-
15 bromo)phenylpropylboronic acid (+)-pinanediol ester;
- H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-3-(2-
fluoro)phenylpropylboronic acid (+)-pinanediol ester;
- 20 H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-3-(3-
fluoro)phenylpropylboronic acid (+)-pinanediol ester;
- H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-3-(2,6-
difluoro)phenylpropylboronic acid (+)-pinanediol ester;
- 25 H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-3-(4-
hydroxy)phenylpropylboronic acid (+)-pinanediol ester;
- H-Asp-Glu-Val-Val-Pro-(1*R*)-1-aminoheptylboronic acid (+)-
30 pinanediol ester;
- H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-5-methylhexylboronic
acid (+)-pinanediol ester;
- 35 H-Asp-Glu-Val-Val-Pro-(1*R*)-1-aminoheptylboronic acid
(+)-pinanediol ester;
- H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-4-
cyclobutylbutylboronic acid (+)-pinanediol ester; and
- 40

5 H-Asp-Glu-Val-Val-Pro-(1*R*)-1-amino-5-ethylheptylboronic
acid (+)-pinanediol ester.

12. A compound of Claim 1 selected from:

10 Ac-Val-Pro-(1*R*)-1-amino-3-phenylpropylboronic acid (+)-
pinanediol ester;

Ac-Val-Pro-(1*R*)-1-amino-3-(4-trifluoromethyl)phenyl
propylboronic acid (+)-pinanediol ester;

15 Ac-Val-Pro-(1*R*)-1-amino-3-(4-phenoxy)phenylpropylboronic
acid (+)-pinanediol ester;

20 Ac-Val-Pro-(1*R*)-1-amino-3-(4-hydroxy)phenylpropylboronic
acid (+)-pinanediol ester;

Ac-Val-Pro-(1*R*)-1-amino-3-(4-(4-methoxyphenoxy)phenyl)
propylboronic acid (+)-pinanediol ester;

25 Ac-Val-Pro-(1*R*)-1-amino-3-(4-(4-methylphenoxy)phenyl)
propylboronic acid (+)-pinanediol ester; and

(2-pyrazinecarbonyl)-Val-Val-Hyp(OBn)-(1*R*)-1-amino-3-(4-
trifluoromethyl)phenylpropylboronic acid (+)-pinanediol
30 ester.

13. A pharmaceutical composition comprising a
pharmaceutically acceptable carrier and a therapeutically
effective amount of a compound of one of Claim 1 or a
35 pharmaceutically acceptable salt form or prodrug thereof.

14. A method of treating a viral infection which comprises
administering to a host in need of such treatment a
therapeutically effective amount of a compound of one of
40 Claim 1 or a pharmaceutically acceptable salt form or
prodrug thereof.

5

15. A method of treating HCV infection which comprises
administering to a host in need of such treatment a
therapeutically effective amount of a compound of one of
Claim 1 or a pharmaceutically acceptable salt form or
10 prodrug thereof.